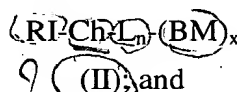


CLAIMS

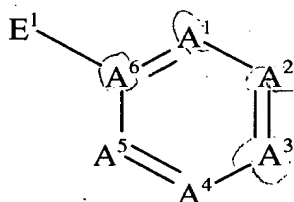
What is claimed is:

1. A pharmaceutical composition comprising:

(1.) a radiolabeled pharmaceutical agent of the formula (II)



(2.) an effective stabilizing amount of a compound of formula (I):



wherein

RI is ^{99m}Tc , ^{131}I , ^{125}I , ^{123}I , ^{117m}Sn , ^{111}In , ^{97}Ru , ^{203}Pb , ^{67}Ga , ^{68}Ga , ^{89}Zr , ^{90}Y , ^{177}Lu , ^{149}Pm , ^{153}Sm , ^{166}Ho , ^{131}I , ^{32}P , ^{211}At , ^{47}Sc , ^{109}Pd , ^{105}Rh , ^{186}Re , ^{188}Re , ^{60}Cu , ^{62}Cu , ^{64}Cu or ^{67}Cu ;

C_h is a metal chelator or is a direct linkage;

L_n is a linking group or is a direct linkage;

each BM is independently a peptidomimetic or a non-peptide;

x is 1 to about 10;

E^1 is NH_2 or OH ;

A^1 , A^2 , A^3 , A^4 and A^5 are each independently $\text{N}_\text{C}(\text{OH})$ or CR^1 ;

provided at least one of A^1 , A^2 , A^3 , A^4 and A^5 is not CH ;

each R^1 is independently H, $\text{C}(\text{O})\text{R}^2$, $\text{C}(\text{O})\text{OR}^2$, $\text{NHC}(=\text{O})\text{NHR}^2$,

$\text{NHC}(=\text{S})\text{NHR}^2$, $\text{OC}(=\text{O})\text{R}^2$, $\text{OC}(=\text{O})\text{OR}^2$, $\text{S}(\text{O})_2\text{OR}^2$, $\text{C}(\text{O})\text{NR}^3\text{R}^4$,

$\text{C}(\text{O})\text{NR}^3\text{OR}^4$, $\text{C}(\text{O})\text{NR}^2\text{NR}^3\text{R}^4$, NR^3R^4 , $\text{NR}^3\text{C}(\text{O})\text{R}^4$, $\text{PO}(\text{OR}^3)(\text{OR}^4)$,

$\text{S}(\text{O})_2\text{NR}^3\text{R}^4$, $\text{S}(\text{O})_2\text{NR}^2\text{NR}^3\text{R}^4$, $\text{S}(\text{O})_2\text{NR}^3\text{OR}^4$, $\text{C}_1\text{-C}_{10}$ alkyl substituted with 0-5

R^5 , $\text{C}_3\text{-C}_{10}$ cycloalkyl substituted with 0-5 R^5 , $\text{C}_2\text{-C}_{10}$ alkenyl substituted with 0-5

R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_1\text{-C}_6$ alkenyl, benzyl, or phenyl; or R^3 and R^4 together form $\text{C}_3\text{-C}_{10}$ cycloalkyl or $\text{C}_3\text{-C}_{10}$ cycloalkenyl, optionally interrupted with O, S, NH, $\text{S}(=\text{O})$, $\text{S}(\text{O})_2$, $\text{P}(=\text{O})(\text{OH})$, $\text{C}(=\text{O})\text{NH}$, $\text{NHC}(=\text{O})$, $\text{NHC}(=\text{O})\text{NH}$, or $\text{NHC}(=\text{S})\text{NH}$; and

each R^5 is independently H, NH_2 , OH, CO_2H , $C(=O)NH_2$, $C(=O)NHOH$, $C(=O)NHNH_2$, $NHC(=NH)NH_2$, $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$;

or a pharmaceutically acceptable salt thereof.

2. The composition of claim 1 wherein

E^1 is OH;

A^1 , A^2 , A^3 , and A^4 are each independently $C(OH)$ or CR^1 ;

A^5 is $C(OH)$;

each R^1 is independently H, $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$, $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$, $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$, $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-3 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-3 R^5 , C_2 - C_{10} alkenyl substituted with 0-3 R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl optionally interrupted with O, S, NH, $S(=O)$, $S(O)_2$, $P(=O)(OH)$, $C(=O)NH$, $NHC(=O)$, $NHC(=O)NH$, or $NHC(=S)NH$; and

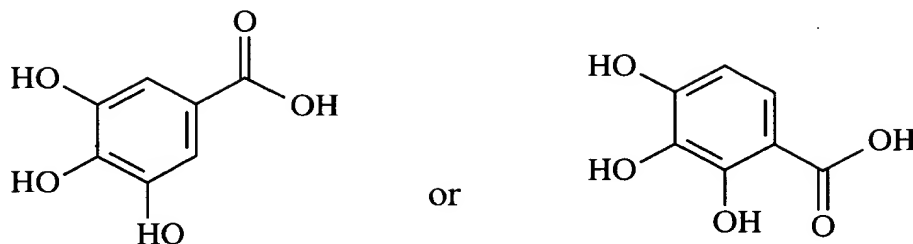
each R^5 is independently H, NH_2 , OH, CO_2H , $C(=O)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

3. The composition of claim 2 wherein,

A^4 is $C(OH)$; and

each R^1 is independently $C(O)H$, $C(O)NH_2$, $C(O)NHNH_2$, CO_2H , $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

4. The composition of claim 3 wherein the compound of formula (I) is:

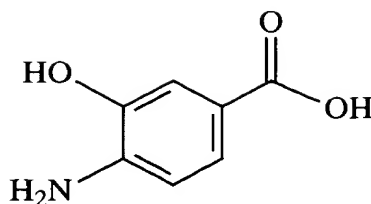


or a pharmaceutically acceptable salt thereof.

5. The composition of claim 1 wherein
 E^1 is NH_2 ;
 A^1 , A^2 , A^3 , and A^4 are each independently $C(OH)$ or CR^1 ;
 A^5 is $C(OH)$;
each R^1 is independently H , $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$,
 $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$,
 $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$,
 $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-3
 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-3 R^5 , C_2 - C_{10} alkenyl substituted with 0-3
 R^5 , or aryl substituted with 0-5 R^5 ;
 R^2 , R^3 , and R^4 are each independently H , C_1 - C_6 alkyl, C_3 - C_6
cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl
optionally interrupted with O , S , NH , $S(=O)$, $S(O)_2$, $P(=O)(OH)$, $C(=O)NH$,
 $NHC(=O)$, $NHC(=O)NH$, or $NHC(=S)NH$; and
each R^5 is independently H , NH_2 , OH , CO_2H , $C(=O)NH_2$, PO_3H_2 ,
 SO_3H , or $S(O)_2NH_2$.

6. The composition of claim 5 wherein
each R^1 is independently $C(O)H$, $C(O)NH_2$, $C(O)NHNH_2$, CO_2H ,
 $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

7. The composition of claim 6 wherein compound of the formula (I)
is a compound of the formula:



or a pharmaceutically acceptable salt thereof.

8. The composition of claim 1 wherein

A^1 , A^2 , A^3 , A^4 , and A^5 are each independently N, C(OH) or CR^1 ; provided that A^5 is not C(OH);

each R^1 is independently H, $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$, $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$, $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$, $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-5 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-5 R^5 , C_2 - C_{10} alkenyl substituted with 0-5 R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_6 alkenyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkenyl optionally interrupted with O, S, NH, $S(=O)$, $S(O)_2$, $P(=O)(OH)$, $C(=O)NH$, $NHC(=O)$, $NHC(=O)NH$, or $NHC(=S)NH$; and

each R^5 is independently H, NH_2 , OH, CO_2H , $C(=O)NH_2$, $C(=O)NHOH$, $C(=O)NHNH_2$, $NHC(=NH)NH_2$, $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

9. The composition of claim 8 wherein

A^1 , A^2 , A^3 , A^4 , and A^5 are each independently CR^1 ;

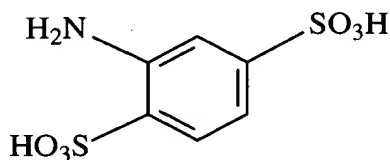
each R^1 is independently H, $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$, $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$, $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$, $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-3 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-3 R^5 , C_2 - C_{10} alkenyl substituted with 0-3 R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl

optionally interrupted with O, S, NH, S(=O), S(O)₂, P(=O)(OH), C(=O)NH, NHC(=O), NHC(=O)NH, or NHC(=S)NH; and

each R⁵ is independently H, NH₂, OH, CO₂H, C(=O)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂.

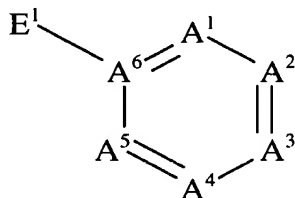
10. The composition of claim 9 wherein each R¹ is independently C(O)H, C(O)NH₂, C(O)NHNH₂, CO₂H, NHC(=O)NH₂, NHC(=S)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂.
11. The composition of claim 10 wherein the compound of formula



(I) is a compound of the formula:
or a pharmaceutically acceptable salt thereof.

12. The composition of claim 1 wherein the compound of formula (I) is present at a concentration of about 0.1 mg/mL to about 20 mg/mL.
13. The composition of claim 12 wherein the radioisotope is present at a level of about 20 mCi to about 2000 mCi and is present at a concentration of greater than about 5 mCi/mL of the radiopharmaceutical composition.
14. The composition of claim 13 wherein the radioisotope is ⁹⁰Y or ¹⁷⁷Lu.
15. The composition of claim 1 wherein the biomolecule is a peptidomimetic.
16. The composition of claim 1 wherein the biomolecule is a non-peptide.

17. The composition of claim 1 further comprising an effective stabilizing amount of a second stabilizer selected from the group consisting of ascorbic acid, benzyl alcohol, gentisic acid, an ester of gentisic acid, gentisyl alcohol, an ester of gentisyl alcohol, *p*-aminobenzoic acid, cystamine, cystamine, 5-amino-2-hydroxybenzoic acid, nicotinic acid, nicotinamide, propylene glycol, dextran, inositol, a compound of formula (I):



wherein,

E^1 is NH_2 or OH ;

A^1, A^2, A^3, A^4 and A^5 are each independently $N, C(OH)$ or CR^1 ;
provided at least one of A^1, A^2, A^3, A^4 and A^5 is not CH ;

each R^1 is independently $H, C(O)R^2, C(O)OR^2, NHC(=O)NHR^2, NHC(=S)NHR^2, OC(=O)R^2, OC(=O)OR^2, S(O)_2OR^2, C(O)NR^3R^4, C(O)NR^3OR^4, C(O)NR^2NR^3R^4, NR^3R^4, NR^3C(O)R^4, PO(OR^3)(OR^4), S(O)_2NR^3R^4, S(O)_2NR^2NR^3R^4, S(O)_2NR^3OR^4, C_1-C_{10}$ alkyl substituted with 0-5 R^5, C_3-C_{10} cycloalkyl substituted with 0-5 R^5, C_2-C_{10} alkenyl substituted with 0-5 R^5 , or aryl substituted with 0-5 R^5 ;

R^2, R^3 , and R^4 are each independently H, C_1-C_6 alkyl, C_3-C_6 cycloalkyl, C_1-C_6 alkenyl, benzyl, or phenyl; or R^3 and R^4 together form C_3-C_{10} cycloalkyl or C_3-C_{10} cycloalkenyl, optionally interrupted with $O, S, NH, S(=O), S(O)_2, P(=O)(OH), C(=O)NH, NHC(=O), NHC(=O)NH$, or $NHC(=S)NH$; and

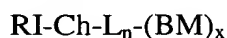
each R^5 is independently $H, NH_2, OH, CO_2H, C(=O)NH_2, C(=O)NHOH, C(=O)NHNH_2, NHC(=NH)NH_2, NHC(=O)NH_2, NHC(=S)NH_2, PO_3H_2, SO_3H$, or $S(O)_2NH_2$;

or a pharmaceutically acceptable salt thereof.

18. The composition of claim 17 wherein the second stabilizer is present at a concentration of about 0.1 mg/mL to about 20 mg/mL.

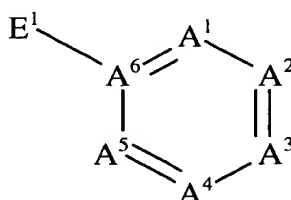
19. A pharmaceutical composition comprising:

(1.) a radiolabeled pharmaceutical agent of the formula (II)



(II); and

(2.) an effective stabilizing amount of a compound of formula (I):



wherein

RI is $^{99\text{m}}\text{Tc}$, ^{131}I , ^{125}I , ^{123}I , $^{117\text{m}}\text{Sn}$, ^{111}In , ^{97}Ru , ^{203}Pb , ^{67}Ga , ^{68}Ga , ^{89}Zr , ^{90}Y , ^{177}Lu , ^{149}Pm , ^{153}Sm , ^{166}Ho , ^{131}I , ^{32}P , ^{211}At , ^{47}Sc , ^{109}Pd , ^{105}Rh , ^{186}Re , ^{188}Re , ^{60}Cu , ^{62}Cu , ^{64}Cu or ^{67}Cu ;

Ch is a metal chelator or is a direct linkage;

L_n is a linking group or is a direct linkage;

each BM is independently an antibody, an antibody fragment, a peptide, a peptidomimetic, or a non-peptide;

x is 1 to about 10;

E^1 is NH_2 or OH ;

A^1 , A^2 , A^3 , A^4 and A^5 are each independently N, C(OH) or CR^1 ;

provided at least one of A^1 , A^2 , A^3 , A^4 and A^5 is not CH ;

each R^1 is independently H , C(O)R^2 , C(O)OR^2 , NHC(=O)NHR^2 , NHC(=S)NHR^2 , OC(=O)R^2 , OC(=O)OR^2 , $\text{S(O)}_2\text{OR}^2$, $\text{C(O)NR}^3\text{R}^4$, $\text{C(O)NR}^3\text{OR}^4$, $\text{C(O)NR}^2\text{NR}^3\text{R}^4$, NR^3R^4 , $\text{NR}^3\text{C(O)R}^4$, $\text{PO(OR}^3\text{)(OR}^4\text{)}$, $\text{S(O)}_2\text{NR}^3\text{R}^4$, $\text{S(O)}_2\text{NR}^2\text{NR}^3\text{R}^4$, $\text{S(O)}_2\text{NR}^3\text{OR}^4$, $\text{C}_1\text{-C}_{10}$ alkyl substituted with 0-5 R^5 , $\text{C}_3\text{-C}_{10}$ cycloalkyl substituted with 0-5 R^5 , $\text{C}_2\text{-C}_{10}$ alkenyl substituted with 0-5 R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H , $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, $\text{C}_1\text{-C}_6$ alkenyl, benzyl, or phenyl; or R^3 and R^4 together form $\text{C}_3\text{-C}_{10}$ cycloalkyl or $\text{C}_3\text{-C}_{10}$ cycloalkenyl, optionally interrupted with O , S , NH , S(=O) , S(O)_2 , P(=O)(OH) , C(=O)NH , NHC(=O) , NHC(=O)NH , or NHC(=S)NH ; and

each R^5 is independently H, NH_2 , OH, CO_2H , $C(=O)NH_2$, $C(=O)NHOH$, $C(=O)NHNH_2$, $NHC(=NH)NH_2$, $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$;

or a pharmaceutically acceptable salt thereof;

provided the compound of formula (I) is not (1) a substituted monohydroxyl aromatic compound; (2) a substituted dihydroxyl aromatic compound, in which the two hydroxyl groups are not adjacent to each other; (3) a substituted monohydroxyl-monoamino aromatic compound, in which the hydroxyl group and amino group are not adjacent to each other; or (4) an ortho, meta, or para aminobenzoic acid.

20. The composition of claim 19 wherein

E^1 is OH;

A^1 , A^2 , A^3 , and A^4 are each independently $C(OH)$ or CR^1 ;

A^5 is $C(OH)$;

each R^1 is independently H, $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$, $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$, $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$, $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-3 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-3 R^5 , C_2 - C_{10} alkenyl substituted with 0-3 R^5 , or aryl substituted with 0-5 R^5 ;

R^2 , R^3 , and R^4 are each independently H, C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl optionally interrupted with O, S, NH, $S(=O)$, $S(O)_2$, $P(=O)(OH)$, $C(=O)NH$, $NHC(=O)$, $NHC(=O)NH$, or $NHC(=S)NH$; and

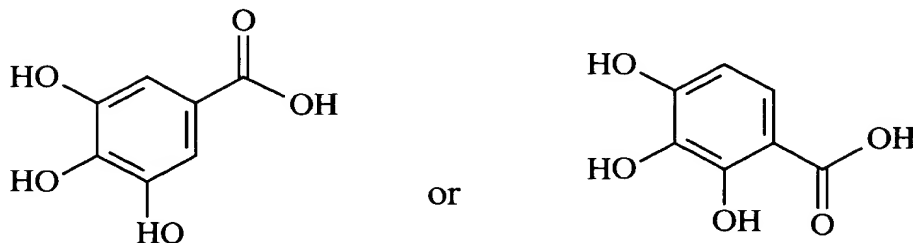
each R^5 is independently H, NH_2 , OH, CO_2H , $C(=O)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

21. The composition of claim 20 wherein,

A^4 is $C(OH)$; and

each R^1 is independently $C(O)H$, $C(O)NH_2$, $C(O)NHNH_2$, CO_2H , $NHC(=O)NH_2$, $NHC(=S)NH_2$, PO_3H_2 , SO_3H , or $S(O)_2NH_2$.

22. The composition of claim 21 wherein the compound of formula (I) is:

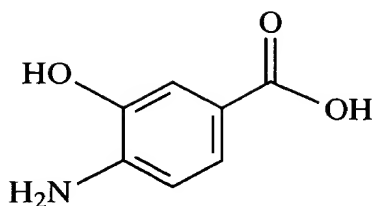


or a pharmaceutically acceptable salt thereof.

23. The composition of claim 19 wherein
 E^1 is NH_2 ;
 A^1 , A^2 , A^3 , and A^4 are each independently $\text{C}(\text{OH})$ or CR^1 ;
 A^5 is $\text{C}(\text{OH})$;
each R^1 is independently H, $\text{C}(\text{O})\text{R}^2$, $\text{C}(\text{O})\text{OR}^2$, $\text{NHC}(=\text{O})\text{NHR}^2$, $\text{NHC}(=\text{S})\text{NHR}^2$, $\text{OC}(=\text{O})\text{R}^2$, $\text{OC}(=\text{O})\text{OR}^2$, $\text{S}(\text{O})_2\text{OR}^2$, $\text{C}(\text{O})\text{NR}^3\text{R}^4$, $\text{C}(\text{O})\text{NR}^3\text{OR}^4$, $\text{C}(\text{O})\text{NR}^2\text{NR}^3\text{R}^4$, NR^3R^4 , $\text{NR}^3\text{C}(\text{O})\text{R}^4$, $\text{PO}(\text{OR}^3)(\text{OR}^4)$, $\text{S}(\text{O})_2\text{NR}^3\text{R}^4$, $\text{S}(\text{O})_2\text{NR}^2\text{NR}^3\text{R}^4$, $\text{S}(\text{O})_2\text{NR}^3\text{OR}^4$, $\text{C}_1\text{-C}_{10}$ alkyl substituted with 0-3 R^5 , $\text{C}_3\text{-C}_{10}$ cycloalkyl substituted with 0-3 R^5 , $\text{C}_2\text{-C}_{10}$ alkenyl substituted with 0-3 R^5 , or aryl substituted with 0-5 R^5 ;
 R^2 , R^3 , and R^4 are each independently H, $\text{C}_1\text{-C}_6$ alkyl, $\text{C}_3\text{-C}_6$ cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form $\text{C}_3\text{-C}_{10}$ cycloalkyl optionally interrupted with O, S, NH, $\text{S}(=\text{O})$, $\text{S}(\text{O})_2$, $\text{P}(=\text{O})(\text{OH})$, $\text{C}(=\text{O})\text{NH}$, $\text{NHC}(=\text{O})$, $\text{NHC}(=\text{O})\text{NH}$, or $\text{NHC}(=\text{S})\text{NH}$; and
each R^5 is independently H, NH_2 , OH, CO_2H , $\text{C}(=\text{O})\text{NH}_2$, PO_3H_2 , SO_3H , or $\text{S}(\text{O})_2\text{NH}_2$.

24. The composition of claim 23 wherein
each R^1 is independently $\text{C}(\text{O})\text{H}$, $\text{C}(\text{O})\text{NH}_2$, $\text{C}(\text{O})\text{NHNH}_2$, CO_2H , $\text{NHC}(=\text{O})\text{NH}_2$, $\text{NHC}(=\text{S})\text{NH}_2$, PO_3H_2 , SO_3H , or $\text{S}(\text{O})_2\text{NH}_2$.

25. The composition of claim 24 wherein compound of the formula (I) is a compound of the formula:



or a pharmaceutically acceptable salt thereof.

26. The composition of claim 19 wherein

A^1 , A^2 , A^3 , A^4 , and A^5 are each independently N, C(OH) or CR^1 ; provided that A^5 is not C(OH);

each R^1 is independently H, C(O) R^2 , C(O)OR², NHC(=O)NHR², NHC(=S)NHR², OC(=O) R^2 , OC(=O)OR², S(O)₂OR², C(O)NR³R⁴, C(O)NR³OR⁴, C(O)NR²NR³R⁴, NR³R⁴, NR³C(O)R⁴, PO(OR³)(OR⁴), S(O)₂NR³R⁴, S(O)₂NR²NR³R⁴, S(O)₂NR³OR⁴, C₁-C₁₀ alkyl substituted with 0-5 R⁵, C₃-C₁₀ cycloalkyl substituted with 0-5 R⁵, C₂-C₁₀ alkenyl substituted with 0-5 R⁵, or aryl substituted with 0-5 R⁵;

R^2 , R^3 , and R^4 are each independently H, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, C₁-C₆ alkenyl, benzyl, or phenyl; or R^3 and R^4 together form C₃-C₁₀ cycloalkyl or C₃-C₁₀ cycloalkenyl optionally interrupted with O, S, NH, S(=O), S(O)₂, P(=O)(OH), C(=O)NH, NHC(=O), NHC(=O)NH, or NHC(=S)NH; and

each R^5 is independently H, NH₂, OH, CO₂H, C(=O)NH₂, C(=O)NHOH, C(=O)NHNH₂, NHC(=NH)NH₂, NHC(=O)NH₂, NHC(=S)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂.

27. The composition of claim 26 wherein

A^1 , A^2 , A^3 , A^4 , and A^5 are each independently CR^1 ;

each R^1 is independently H, C(O) R^2 , C(O)OR², NHC(=O)NHR², NHC(=S)NHR², OC(=O) R^2 , OC(=O)OR², S(O)₂OR², C(O)NR³R⁴, C(O)NR³OR⁴, C(O)NR²NR³R⁴, NR³R⁴, NR³C(O)R⁴, PO(OR³)(OR⁴), S(O)₂NR³R⁴, S(O)₂NR²NR³R⁴, S(O)₂NR³OR⁴, C₁-C₁₀ alkyl substituted with 0-3 R⁵, C₃-C₁₀ cycloalkyl substituted with 0-3 R⁵, C₂-C₁₀ alkenyl substituted with 0-3 R⁵, or aryl substituted with 0-5 R⁵;

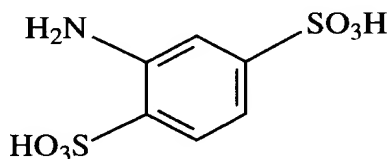
R^2 , R^3 , and R^4 are each independently H, C₁-C₆ alkyl, C₃-C₆ cycloalkyl, benzyl, or phenyl; or R^3 and R^4 together form C₃-C₁₀ cycloalkyl

optionally interrupted with O, S, NH, S(=O), S(O)₂, P(=O)(OH), C(=O)NH, NHC(=O), NHC(=O)NH, or NHC(=S)NH; and

each R⁵ is independently H, NH₂, OH, CO₂H, C(=O)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂.

28. The composition of claim 27 wherein each R¹ is independently C(O)H, C(O)NH₂, C(O)NHNH₂, CO₂H, NHC(=O)NH₂, NHC(=S)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂.

29. The composition of claim 28 wherein the compound of formula



(I) is a compound of the formula:
or a pharmaceutically acceptable salt thereof.

30. The composition of claim 19 wherein the compound of formula (I) is present at a concentration of about 0.1 mg/mL to about 20 mg/mL.

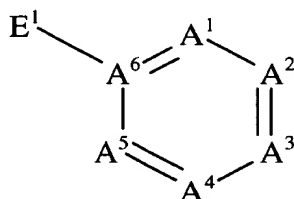
31. The composition of claim 30 wherein the radioisotope is present at a level of about 20 mCi to about 2000 mCi and at a concentration of greater than about 5 mCi/mL of the radiopharmaceutical composition.

32. The composition of claim 31 wherein the radioisotope is ⁹⁰Y or ¹⁷⁷Lu.

33. The composition of claim 19 wherein the ^{AB}biomolecule is a peptide.

34. The composition of claim 19 wherein the ^{AB}biomolecule is a non-peptide.

35. The composition of claim 19 wherein the biomolecule is a peptidomimetic.
36. The composition of claim 19 wherein the biomolecule is an antibody.
37. The composition of claim 19 wherein the biomolecule is an antibody fragment.
38. The composition of claim 19 further comprising an effective stabilizing amount of a second stabilizer selected from the group consisting of ascorbic acid, benzyl alcohol, gentisic acid, an ester of gentisic acid, gentisyl alcohol, an ester of gentisyl alcohol, *p*-aminobenzoic acid, cystamine, cystamine, 5-amino-2-hydroxybenzoic acid, nicotinic acid, nicotinamide, propylene glycol, dextran, inositol, a compound of formula (I):



wherein,

E^1 is NH_2 or OH ;

A^1 , A^2 , A^3 , A^4 and A^5 are each independently N , $C(OH)$ or CR^1 ;
provided at least one of A^1 , A^2 , A^3 , A^4 and A^5 is not CH ;

each R^1 is independently H , $C(O)R^2$, $C(O)OR^2$, $NHC(=O)NHR^2$, $NHC(=S)NHR^2$, $OC(=O)R^2$, $OC(=O)OR^2$, $S(O)_2OR^2$, $C(O)NR^3R^4$, $C(O)NR^3OR^4$, $C(O)NR^2NR^3R^4$, NR^3R^4 , $NR^3C(O)R^4$, $PO(OR^3)(OR^4)$, $S(O)_2NR^3R^4$, $S(O)_2NR^2NR^3R^4$, $S(O)_2NR^3OR^4$, C_1 - C_{10} alkyl substituted with 0-5 R^5 , C_3 - C_{10} cycloalkyl substituted with 0-5 R^5 , C_2 - C_{10} alkenyl substituted with 0-5 R^5 , or aryl substituted with 0-5 R^5 ;

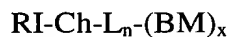
R^2 , R^3 , and R^4 are each independently H , C_1 - C_6 alkyl, C_3 - C_6 cycloalkyl, C_1 - C_6 alkenyl, benzyl, or phenyl; or R^3 and R^4 together form C_3 - C_{10} cycloalkyl or C_3 - C_{10} cycloalkenyl, optionally interrupted with O , S , NH , $S(=O)$, $S(O)_2$, $P(=O)(OH)$, $C(=O)NH$, $NHC(=O)$, $NHC(=O)NH$, or $NHC(=S)NH$; and

each R⁵ is independently H, NH₂, OH, CO₂H, C(=O)NH₂, C(=O)NHOH, C(=O)NHNH₂, NHC(=NH)NH₂, NHC(=O)NH₂, NHC(=S)NH₂, PO₃H₂, SO₃H, or S(O)₂NH₂;
or a pharmaceutically acceptable salt thereof.

39. The composition of claim 38 wherein the second stabilizer is present at a concentration of about 0.1 mg/mL to about 20 mg/mL.

40. A method for preparing a stable radiopharmaceutical composition of claim 1 comprising:

combining in the absence of oxygen, the radiolabeled pharmaceutical agent of the formula (II):



(II); and

an effective stabilizing amount of the stabilizer of the formula (I).

41. The method of claim 40 wherein the radiolabeled pharmaceutical agent and the stabilizer are combined in a container.

42. The method of claim 41 wherein an oxygen free head-space is maintained in the container.

43. The method of claim 40 further comprising cooling to a temperature of less than about -20°C.

44. The method of claim 40 further comprising storing to a temperature of less than about -20°C.

45. A method for preparing a stable radiopharmaceutical composition of claim 1 comprising:

combining in a container, in the absence of oxygen, the radiolabeled pharmaceutical agent of the formula RI-Ch-L_n-(BM)_x and an effective stabilizing amount of the stabilizer of the formula (I);

maintaining an oxygen free head-space in the container;

cooling the container to a temperature of less than about -20°C;
and

storing the container to a temperature of less than about -20°C.

46. A method for treating or preventing thromboembolic disorders, atherosclerosis, infection, inflammation, transplant rejection, cancer or a disease state that is associated with the following receptors: a cyclic IIb/IIIa receptor, a fibrinogen receptor, a myocardial receptor, a renal receptor, LTβ4, selectin, growth factor (PDGF, VEGF, EGF, FGF, TNF MCSF or an interleukin II1-8), a receptor that is expressed or upregulated in angiogenic tumor vasculature, αvβ3, αvβ5, α5β1, α4β1, α1β1, or α2β2, α5β1, αvβ3, α5β1, or tyrosine kinases (e.g., epidermal growth factor receptor (EGFR) family in a mammalian tissue inflicted with or at risk thereof comprising contacting the mammalian tissue with an effective amount of a composition of claim 1.

47. The method of claim 46 wherein the mammal is a human.

48. The method of claim 46 wherein the contacting is *in vivo*.

49. The method of claim 46 wherein the contacting is *in vitro*.

50. A method for treating or preventing cancer, thromboembolic disorders, atherosclerosis, infection, inflammation, transplant rejection, cancer or a disease state that is associated with the following receptors: a cyclic IIb/IIIa receptor, a fibrinogen receptor, a myocardial receptor, a renal receptor, LTβ4, selectin, growth factor (PDGF, VEGF, EGF, FGF, TNF MCSF or an interleukin II1-8), a receptor that is expressed or upregulated in angiogenic tumor vasculature, αvβ3, αvβ5, α5β1, α4β1, α1β1, or α2β2, α5β1, αvβ3, α5β1, or tyrosine kinases (e.g., epidermal growth factor receptor (EGFR) family in a patient (e.g., mammal) inflicted with or at risk thereof comprising administering to the mammal in need of such treatment or prevention an effective amount of a composition of claim 1.

51. The method of claim 50 wherein the mammal is a human.

52. A method for imaging a tumor on or in a mammalian tissue inflicted with a tumor comprising contacting the mammalian tissue with an effective amount of a composition of claim 1; and detecting the presence of the radiolabeled pharmaceutical; wherein the ligand has an affinity for tumor cells.

53. The method of claim 52 wherein the mammal is a human.

54. The method of claim 52 wherein the contacting is *in vivo*.

55. The method of claim 52 wherein the contacting is *in vitro*.

56. A method for imaging a tumor in a mammal inflicted with a tumor comprising administering to the mammal an effective amount of a composition of claim 1; and detecting the presence of the radiolabeled pharmaceutical.

57. The method of claim 56 wherein the mammal is a human.

58. The method of claim 56 wherein the tumor is located in the breast, lung, thyroid, lymph node, kidney, ureter, bladder, ovary, teste, prostate, bone, skeletal muscle, bone marrow, stomach, esophagus, small bowel, colon, rectum, pancreas, liver, smooth muscle, brain, spinal cord, nerves, ear, eye, nasopharynx, oropharynx, salivary gland, or the heart.

59. A pharmaceutical composition of claim 1 for use in medical therapy or diagnosis.

60. The use of a pharmaceutical composition of claim 1 for the manufacture of a medicament for imaging or treating a tumor in a mammal.

61. The use of a pharmaceutical composition of claim 1 for the manufacture of a medicament for treating a tumor, a thromboembolic disorder, atherosclerosis, an infection, inflammation, transplant rejection or a disease state that is associated with the following receptors: a cyclic IIb/IIIa receptor, a

fibrinogen receptor, a myocardial receptor, a renal receptor, $LT\beta_4$, selectin, growth factor (PDGF, VEGF, EGF, FGF, TNF MCSF or an interleukin II-8), a receptor that is expressed or upregulated in angiogenic tumor vasculature, $\alpha v\beta_3$, $\alpha v\beta_5$, $\alpha 5\beta_1$, $\alpha 4\beta_1$, $\alpha 1\beta_1$, or $\alpha 2\beta_2$, $\alpha 5\beta_1$, $\alpha v\beta_3$, $\alpha 5\beta_1$, or tyrosine kinases (e.g., epidermal growth factor receptor (EGFR) family in a mammal.

62. A diagnostic composition comprising an effective diagnostic amount of a radiolabeled agent $RI-Ch-Ln-(BM)_x$, an effective stabilizing amount of a compound of formula (I) of claim 1, and a physiologically acceptable carrier or excipient.

63. A compound of formula (I) of claim 1 for use in preparing a stable radio-imaging composition comprising an effective diagnostic amount of a radiolabeled agent $RI-Ch-Ln-(BM)_x$, an effective stabilizing amount of a compound of formula (I) of claim 1, and a physiologically acceptable carrier or excipient.

64. A scintigraphic diagnostic composition comprising an effective stabilizing amount of a compound of formula (I) and a radiolabeled agent $RI-Ch-Ln-(BM)_x$ of claim 1.

65. A method of *in vivo* radio-imaging comprising:

- (a) introducing a radioisotope (RI) to a solution comprising a compound $Ch-Ln-(BM)_x$ and an effective stabilizing amount of a compound of formula (I) of claim 1, to form a labeled solution;
- (b) administering the labeled solution *in vivo*; and
- (c) detecting localization of the radioisotope *in vivo*.

66. A method of *in vitro* radio-imaging a targeted receptor of a tissue comprising:

- (a) administering an effective diagnostic amount of a composition according to claim 62 to the tissue; and
- (b) detecting localization of the radiolabeled agent at the targeted receptor.

67. The method according to claim 66 wherein the targeted receptor is selected from the group consisting of a cyclic IIb/IIIa receptor, a fibrinogen receptor, a myocardial receptor, a renal receptor, LT β 4, selectin, growth factor (PDGF, VEGF, EGF, FGF, TNF MCSF or an interleukin II1-8), a receptor that is expressed or upregulated in angiogenic tumor vasculature, α v β 3, α v β 5, α 5 β 1, α 4 β 1, α 1 β 1, or α 2 β 2, α 5 β 1, α v β 3, α 5 β 1, and tyrosine kinases (e.g., epidermal growth factor receptor (EGFR) family).

68. A method of radio-imaging a targeted site within a patient's body comprising:

- (a) administering an effective diagnostic amount of a composition according to claim 62 to the patient; and
- (b) detecting localization of the radiolabeled agent at the targeted site.

69. A method of radio-imaging for prostate cancer or other tissues having an androgen receptor in a patient comprising:

- (a) administering an effective diagnostic amount of a composition according to claim 62; and
- (b) detecting the presence of the radiolabeled agent RI-Ch-Ln-(BM)_x bound to the androgen receptor.

70. A method of radio-imaging metastasized cancer cells comprising contacting an effective diagnostic amount of a radiolabeled agent RI-Ch-Ln-(BM)_x and an effective stabilizing amount of a compound of formula (I) of claim 1, with a composition comprising ST receptor wherein said radiolabeled agent is capable of targeting a ST receptor.

71. A method of radio-imaging a patient's organ comprising:

- (a) administering an effective diagnostic amount of a radiolabeled agent RI-Ch-Ln-(BM)_x, and an effective stabilizing amount of a compound of formula (I) of claim 1 to a patient in need of such radioimaging; and

(b) and detecting the presence of the radiolabeled agent bound to said organ.

72. The method of claim 71 wherein the organ is selected from the group consisting of the breast, lung, thyroid, lymph node, kidney, ureter, bladder, ovary, teste, prostate, bone, skeletal muscle, bone marrow, stomach, esophagus, small bowel, colon, rectum, pancreas, liver, smooth muscle, brain, spinal cord, nerves, ear, eye, nasopharynx, oropharynx, salivary gland, and the heart.

73. A method of delivering a radionuclide to a target location, comprising:
providing a radiolabeled agent RI-Ch-Ln-(BM)_x and providing an effective stabilizing amount of a compound of formula (I) of claim 1.

74. The method of claim 73 wherein the target location is a cancer cell.

75. A kit for preparing a radio-imaging composition, the kit comprising a sealed vial containing a predetermined quantity of a radiolabeled agent RI-Ch-Ln-(BM)_x and an effective stabilizing amount of a compound of formula (I) of claim 1.

76. A kit comprising a plurality-vial system of a radio-imaging composition of claim 62 and a diluent, comprising:

- (a) a first vial comprising a predetermined quantity of a radiolabelled agent RI-Ch-Ln-(BM)_x and an effective stabilizing amount of a compound of formula (I); and
- (b) a second vial comprising a pharmaceutically acceptable carrier or diluent.

77. A pharmaceutical composition comprising a radiolabeled agent RI-Ch-Ln-(BM)_x , an effective stabilizing amount of a compound of formula (I) of claim 1, and optionally an effective stabilizing amount of a second stabilizer compound selected from the group consisting of ascorbic acid, benzyl alcohol, gentisic acid, an ester of gentisic acid, gentisyl alcohol, an ester of gentisyl

alcohol, *p*-aminobenzoic acid, cystamine, cystamine, 5-amino-2-hydroxybenzoic acid, nicotinic acid, nicotinamide, propylene glycol, dextran, inositol, a compound of formula (I), or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

78. A method of preparing a stable radiopharmaceutical composition, comprising:
providing a radiolabeled agent $RI-Ch-Ln-(BM)_x$ and providing an effective stabilizing amount of a compound of formula (I) of claim 1.

79. A method of treating cancer, comprising administering to a patient, in need thereof, a therapeutically effective amount of a pharmaceutical composition according to claim 77 and optionally at least one agent selected from the group consisting of a chemotherapeutic agent and a radiosensitizer agent, or a pharmaceutically acceptable salt thereof.

80. The method according to claim 79 wherein administering is concurrent.

81. The method according to claim 79 wherein administering is sequential.

82. The method of treating cancer according to claim 79 wherein the cancer is a vascularized tumor (i.e. a solid tumor).

83. The method according to claim 79 wherein the cancer is selected from the group consisting of carcinomas of the lung, breast, ovary, stomach, pancreas, larynx, esophagus, testes, liver, parotid, biliary tract, colon, rectum, cervix, uterus, endometrium, kidney, bladder, prostate, thyroid, squamous cell carcinomas, adenocarcinomas, small cell carcinomas, melanomas, gliomas, and neuroblastomas.

85. The method according to claim 79 wherein the radiosensitizer agent is selected from the group consisting of 2-(3-nitro-1,2,4-triazol-1-yl)-N-(2-methoxyethyl)acetamide, N-(3-nitro-4-quinolinyl)-4-morpholinecarboxamide, 3-amino-1,2,4-benzotriazine-1,4-dioxide, N-(2-hydroxyethyl)-2-nitroimidazole-1-acetamide, 1-(2-nitroimidazol-1-yl)-3-(1-piperidinyl)-2-propanol, and 1-(2-nitro-1-imidazolyl)-3-(1-aziridino)-2-propanol.

87. The kit according to claim 86 wherein said kit comprises a plurality of separate containers, wherein at least one of said containers contains a therapeutically effective amount of a pharmaceutical composition according to

claim 77, and at least another of said containers contains one or more agents selected from the group consisting of a chemotherapeutic agent and a radiosensitizer agent, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.

88. The kit according to Claim 86, wherein the chemotherapeutic agent is selected from the group consisting of mitomycin, tretinoin, ribomustin, gemcitabine, vincristine, etoposide, cladribine, mitobronitol, methotrexate, doxorubicin, carboquone, pentostatin, nitracrine, zinostatin, cetorelix, letrozole, raltitrexed, daunorubicin, fadrozole, fotemustine, thymalfasin, sobuzoxane, nedaplatin, cytarabine, bicalutamide, vinorelbine, vesnarinone, aminoglutethimide, amsacrine, proglumide, elliptinium acetate, ketanserin, doxifluridine, etretinate, isotretinoin, streptozocin, nimustine, vindesine, flutamide, drogenil, butocin, carmofur, razoxane, sizofilan, carboplatin, mitolactol, tegafur, ifosfamide, prednimustine, picibanil, levamisole, teniposide, improsulfan, enocitabine, lisuride, oxymetholone, tamoxifen, progesterone, mepitiostane, epitiostanol, formestane, interferon-alpha, interferon-2 alpha, interferon-beta, interferon-gamma, colony stimulating factor-1, colony stimulating factor-2, denileukin diftitox, interleukin-2, and leutinizing hormone releasing factor.

89. The kit according to Claim 86, wherein the chemotherapeutic agent is selected from the group consisting of mitomycin, tretinoin, ribomustin, gemcitabine, vincristine, etoposide, cladribine, mitobronitol, methotrexate, doxorubicin, carboquone, pentostatin, nitracrine, zinostatin, cetorelix, letrozole, raltitrexed, daunorubicin, fadrozole, fotemustine, thymalfasin, sobuzoxane, nedaplatin, cytarabine, bicalutamide, vinorelbine, vesnarinone, aminoglutethimide, amsacrine, proglumide, elliptinium acetate, ketanserin, doxifluridine, etretinate, isotretinoin, streptozocin, nimustine, vindesine, flutamide, drogenil, butocin, carmofur, razoxane, sizofilan, carboplatin,

mitolactol, tegafur, ifosfamide, prednimustine, picibanil, levamisole, teniposide, improsulfan, enocitabine, and lisuride.

90. The kit according to Claim 86 wherein the chemotherapeutic agent is selected from the group consisting of oxymetholone, tamoxifen, progesterone, mepitiostane, epitio Stanol, and formestane.

91. The kit according to Claim 86 wherein the chemotherapeutic agent is selected from the group consisting of interferon-alpha, interferon-2 alpha, interferon-beta, interferon-gamma, colony stimulating factor-1, colony stimulating factor-2, denileukin diftitox, interleukin-2, and leutinizing hormone releasing factor.

92. The kit according to Claim 86, wherein the radiosensitizer agent is selected from the group consisting of 2-(3-nitro-1,2,4-triazol-1-yl)-N-(2-methoxyethyl)acetamide, N-(3-nitro-4-quinoliny)-4-morpholinecarboxamide, 3-amino-1,2,4-benzotriazine-1,4-dioxide, N-(2-hydroxyethyl)-2-nitroimidazole-1-acetamide, 1-(2-nitroimidazol-1-yl)-3-(1-piperidiny)-2-propanol, and 1-(2-nitro-1-imidazolyl)-3-(1-aziridino)-2-propanol.